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One – pot synthesis of pyrroloquinoxaline from 1,2 diamie, α-ketoesters and α - bromo ketones by $FeCl_3$as catalyst

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Abstract
Pyrrolopyrazines are very important compounds with several medicinal properties such as 5-HT_3 receptor agonists, antileishmanial, anti HIV and antimalarial agents. In recent years, the synthesis of this compounds have been attended. The reported methods for synthesis of these compounds have several difficulty such as the use of multistep synthesis, toxic solvents and dangerous reagents such as triphosgene. In present research, the one-pot reaction between 1, 2-diamines, *α*-ketosters, *α*-bromoketons in the presence of $FeCl_3$as catalyst was investigated which leads to the corresponding pyrrolopyrazine derivatives in high yields.

Keyword: Pyrrolopyrazine, three component reaction, 1,2-diamines , *α*-bromoketon,

Introudaction:

Among the various classes of heterocyclic compounds, quinoxalines, a class of N-containing heterocycles, form an important component of many pharmacologically active compounds [1-4].

For example, the pyrazine ring is a constituent of various bioactive compounds that possess antibiotic, anti-inflammatory, antimicrobial [5], antidiabetic [6], and antiviral activity against retroviruses including HIV. In addition, pyrazine derivatives are also associated with a wide spectrum of biological effects including anticancer, antifungal, and antidepressant activities.

Experimental method:

The reagents and solvents used in this work were obtained from Aldrich and Fluka and were used without further purification.

Mp: Electrothermal-9100 apparatus. IR spectra (KBr):Shimadzu IR-460 spectrometer; in reciprocal centimeters (cm^{-1}). 1H and 13C NMR spectra: Bruker DRX-250.1 Avance instrument; in DMSO-*d6 at 250.1 and 62.9 MHz, resp.; δ in* parts per million (ppm), *J in hertz (Hz). MS: Finnigan-MAT*- 8430 mass spectrometer at 70 eV; in *m/z (rel. %). Elemental* analyses (C, H, N): HeraeusCHN-O-Rapid analyzer

Resalt&discussion:

The reaction of 1,2-diamines with α- ketoesters in the presence of ethyl bromopyruvate was performed in acetonitrile under reflux over 12 hours . The 1H and 13C NMR spectra of the crude products clearly indicated the formation of polysubstituted pyrrolo[1,2-*a*] pyrazine derivatives 4 in 93% yields .

Conclusion:

In summary, the reaction between -1,2 diamine and α- ketoesters in the presence of ethylbromopyruvate provides a simple,catalyst-free one-pot entry to the synthesis of pyrrolo[1,2-a]pyrazine derivatives having potential synthetic and pharmacological interest. The simplicity of the present procedure makes it an interesting alternative to other approaches.

References:

1. Campiani, G.; Cappelli, A.; Nacci, V.; Anzini, M.; Vomero, S.; Hamon, Cagnotto, A.; Fracasso, C.; Uboldi, C.; Caccia, S. Consolo, S.; Mennini, T. *J. Med. Chem.* **1997**, *40*, 3671-3678.
2. Kalinin, A. A.; Mamedov, V. A. *J. Heterocycl. Chem.* **2010**, *46*, 1427-1428.
3. Campiani, G.; Morelli, E.; Gemma, S.; Nacci, V.; Butini, S., Hammon, M.; Novellino, E.; Greco, G.; Cagnotto, A.; Goegan, M.; Cervo, L.; Valle, F .D.; Fracasso, C.; Caccia, S.; Mennini, T. *J. Med .Chem*. 1999 ,42 ,4362-4379 .
4.Guillon, J.; Forfar, I.; Mamani-Matsuda, M.; Desplat, V.; Saliege, M.; Thiolat, D.; Massip, S.; Tabourier, A.; Leger, J.-M.; Dufaure, B. Haumont, G.; Jarry, C.; Mossalayi, D. *Bioorg. Med.Chem.* 2007, *15*, 194–210
5.Yi, C. S.; Yun, S. Y. *J. Am. Chem. Soc.* 2005, *127*, 17000–17006.
6.Yavari, I.; Piltan, M.; Moradi, L. *Tetrahedron.* 2009, *65*, 2067–2071.